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Prodn. of highly stereoselective beta-lactam deriv. - by reacting lithium enolate of organic ester with imine coordinated with trialkyl-aluminium in THF

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Number of Countries: 001 Number of Patents: 002

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
JP 6056770	A	19940301	JP 85219681	A	19851002	199414 B
			JP 91125207	A	19851002	
JP 95051558	B2	19950605	JP 85219681	A	19851002	199527
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Priority Applications (No Type Date): JP 85219681 A 19851002; JP 91125207 A 19851002

Patent Details:

Patent No	Kind	Lan Pg	Main IPC	Filing Notes
JP 6056770	A	6	C07D-205/08	Div ex application JP 85219681
JP 95051558	<input checked="" type="checkbox"/> B2	6	C07D-205/08	Div ex application JP 85219681 Based on patent JP 6056770

Abstract (Basic): JP 6056770 A

Beta-lactam deriv. is obtd. by reaction of a lithium enolate of an organic ester with an organic imine cpd. An imine coordinated with a trialkylaluminium is used as the organic imine cpd. and the reaction is carried out in THF.

ADVANTAGE - The prod. is prep'd. with high selectivity.

In an example, 12 mmol. of n-butyl lithium (15% hexane soln.) was added to 7 ml. of n-hexane soln. of 12 mmol. diisopropylamine while ice-cooling under N<sub>2</sub> stream. The mixt. was stirred for 30 min. and then n-hexane was distilled off in vacuo. 5 ml. THF was added to the residue and the mixt. was cooled to (-) 78 deg.C. 10 mmol. Of ethyl isobutyrate was added in 3 minutes and then THF soln. of 10 mmol. C<sub>6</sub>H<sub>5</sub>CH=NC<sub>6</sub>H<sub>5</sub> and THF soln. of 10 mmol. Aluminium tri-acetate were added. The mixt. was heated gradually to room temp. in 2 hrs. It was hydrolysed with 1N HCl and the hydrolysate was extracted by benzene. Benzene was distilled off to give the beta-lactam. The yield was 75% and the cis-trans ratio was 100:0.

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Title Terms: PRODUCE; HIGH; STEREOSELECTIVE; BETA; LACTAM; DERIVATIVE; REACT; LITHIUM; ENOLATE; ORGANIC; ESTER; IMINE; COORDINATE; TRI; ALKYL; ALUMINIUM; THF

Index Terms/Additional Words: TETRA; HYDRO; FURAN

Derwent Class: B03

International Patent Class (Main): C07D-205/08

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